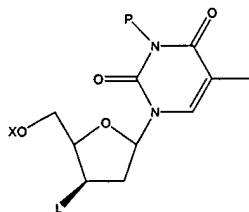


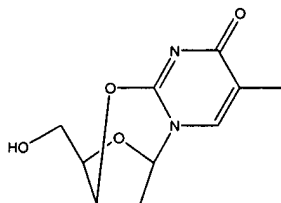
THAT WHICH IS CLAIMED:

1. A method of preparing an  $^{18}\text{F}$ -FLT precursor having the following formula:



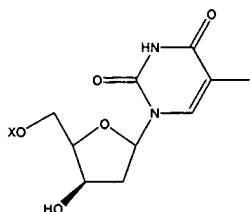
wherein X is t-butoxycarbonyl, methyl, methoxymethyl ethyl, isobutyl, tetrahydropyranyl ether, tetrahydrofuranlyl ether, methoxymethyl ether, bis-(2-chloroethoxy)methyl ether, 1-ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether; P is an amine protecting group; and L is a leaving group, comprising the steps of:

- a. reacting a compound having the following formula:



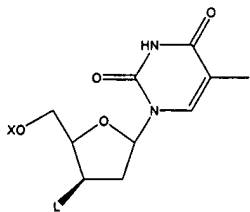
with a reagent that opens the 2,3'-anhydro ring to produce 3'-beta-hydroxy thymidine;

- b. protecting the 5'hydroxyl group to produce a compound having the following formula:



wherein X is the same as defined above;

- c. incorporating a leaving group at the 3'-position to produce a compound having the following formula:



wherein X and L are the same as defined above; and

d. protecting the 3-*N* amine moiety to produce the precursor.

2. The method according to Claim 1, wherein the amine protecting group is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate, pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; t-butylamide, and *N*-pyrrolidinomethylamide.

3. The method according to Claim 1, wherein the amine protecting group is t-butoxycarbonyl.

4. The method according to Claim 1, wherein X is t-butoxycarbonyl, methyl, methoxymethyl ethyl, or isobutyl.

5. The method according to Claim 1, wherein X is t-butoxycarbonyl.

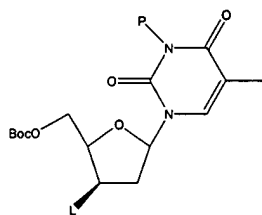
6. The method according to Claim 1, wherein L is selected from the group consisting of benzenesulfonyl, methylsulfonyl, p-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, p-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, and imidazolesulfonyl.

7. The method according to Claim 1, wherein L is nosylate.

8. The method according to Claim 1, wherein the precursor is 5'-*O*-Boc-3'- $\beta$ -nosyl-3-*N*-Boc thymidine.

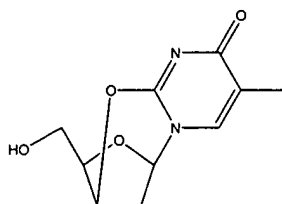
9. The method according to Claim 1, wherein the 2,3'-anhydro ring is opened by reacting 2,3'-anhydrothymidine with NaOH, KOH, LiOH, or tetrabutylammonium hydroxide.

10: A method of preparing an  $^{18}\text{F}$ -FLT precursor having the following formula:



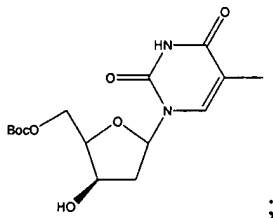
wherein P is an amine protecting group and L is a leaving group, comprising the steps of:

- a. reacting a compound having the following formula:

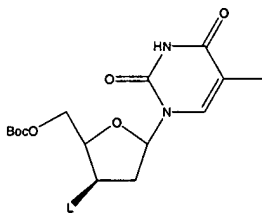


with a reagent that opens the 2,3'-anhydro ring to produce 3'-beta-hydroxy thymidine;

- b. reacting the reaction product of step (a) with  $\text{BOC}_2\text{O}$  to produce a compound having the following formula:



- c. incorporating a leaving group at the 3'-position to produce a compound having the following formula:



wherein L is the same as defined above; and

- d. protecting the 3-N amine moiety to produce the precursor.

11. The method according to Claim 10, wherein the amine protecting group is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate,

pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; *t*-butylamide, and *N*-pyrrolidinomethylamide.

12. The method according to Claim 10, wherein the amine protecting group is *t*-butoxycarbonyl.

13. The method according to Claim 10, wherein L is selected from the group consisting of benzenesulfonyl, methylsulfonyl, *p*-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, *p*-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, and imidazolesulfonyl.

14. The method according to Claim 10, wherein L is nosylate.

15. The method according to Claim 10, wherein the precursor is 5'-*O*-Boc-3'- $\beta$ -nosyl-2-*N*-Boc thymidine.

16. The method according to Claim 10, wherein the 2,3'-anhydro ring is opened by reacting 2,3'-anhydrothymidine with NaOH, KOH, LiOH, alkylammonium hydroxides such as tetrabutylammonium hydroxide

17. A method for preparing an  $^{18}\text{F}$ -FLT precursor comprising:

- converting thymidine into 2,3'-anhydrothymidine;
- opening the 2,3'-anhydro ring to produce 3'-beta-hydroxy thymidine;
- protecting the 5'-hydroxy with *t*-butoxycarbonyl;
- incorporating a leaving group at the 3'-position; and
- protecting the 3-*N* amine to produce the precursor.

18. A method according to Claim 17, wherein the 2,3'-anhydro ring is opened by reacting 2,3'-anhydrothymidine with NaOH, KOH, LiOH, or tetrabutylammonium hydroxide.

19. A method according to Claim 17, wherein the 5'-hydroxy is protected by reacting the reaction product of step (b) with  $\text{BOC}_2\text{O}$ .

20. A method according to Claim 17, wherein the leaving group is a sulfonate ester.

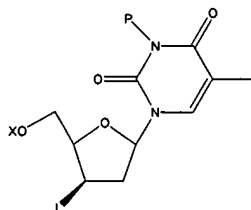
21. A method according to Claim 17, wherein L is selected from the group consisting of benzenesulfonyl, methylsulfonyl, p-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, p-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, and imidazolesulfonyl.

22. A method according to Claim 17, wherein L is nosylate, tosylate, or mesylate.

23. A method according to Claim 17, wherein the amine protecting group is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate, pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; t-butylamide, and *N*-pyrrolidinomethylamide.

24. A method according to Claim 17, wherein the amine protecting group is t-butoxycarbonyl.

25. A compound having the following formula:



wherein X is t-butoxycarbonyl, methyl, methoxymethyl ethyl, isobutyl, tetrahydropyranyl ether, tetrahydrofuran ether, methoxymethyl ether, bis-(2-chloroethoxy)methyl ether, 1-ethoxyethyl ether, or 1-methyl-1-methoxyethyl ether; P is an amine protecting group; and L is a leaving group.

26. A compound according to Claim 25, wherein P is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate, pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; t-butylamide, and *N*-pyrrolidinomethylamide.

27. A compound according to Claim 25, wherein P is t-butoxycarbonyl.

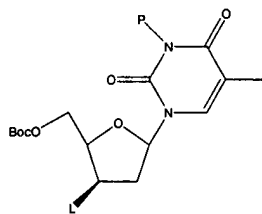
28. A compound according to Claim 25, wherein L is a sulfonate ester.

29. A compound according to Claim 25, wherein L is selected from the group consisting of benzenesulfonyl, methylsulfonyl, p-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, p-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, and imidazolesulfonyl.

30. A compound according to Claim 25, wherein L is nosylate, tosylate, or mesylate.

31. A compound according to Claim 25, wherein X is t-butoxycarbonyl, methyl, methoxymethyl ethyl, or isobutyl.

32. A compound having the following formula:



wherein P is an amine protecting group and L is a leaving group.

33. A compound according to Claim 32, wherein P is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate, pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; *t*-butylamide, and *N*-pyrrolidinomethylamide.

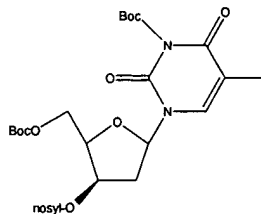
34. A compound according to Claim 32, wherein P is t-butoxycarbonyl.

35. A compound according to Claim 32, wherein L is a sulfonate ester.

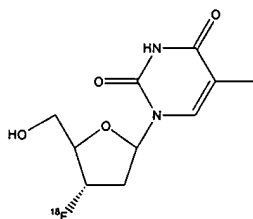
36. A compound according to Claim 32, wherein L is selected from the group consisting of benzenesulfonyl, methylsulfonyl, p-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, p-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, and imidazolesulfonyl.

37. A compound according to Claim 32, wherein L is nosylate, tosylate, or mesylate.

38. A compound having the following formula:

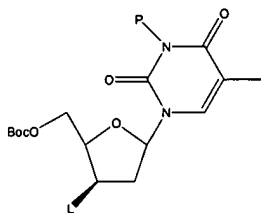


39. A method for preparing a compound having the following formula:



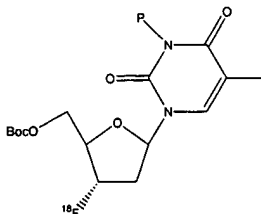
comprising:

a. [ $^{18}\text{F}$ ]fluorinating a compound having the following formula:



wherein P is an amine protecting group and L is a leaving group, to produce a compound having the formula:

compound having the following formula:



wherein P is the same as defined above; and

b. removing the amine protecting group and Boc group to produce  $^{18}\text{F}$ -FLT.

40. A method according to Claim 39, wherein P is selected from the group consisting of tert-butoxycarbamate, isopropyl carbamate, pivaloyloxymethyl carbamate, methyl carbamate, allyl carbamate, *N*-tetrahydropyran, *N*-tetrahydrofuran; t-butylamide, and *N*-pyrrolidinomethylamide.

41. A method according to Claim 39, wherein P is t-butoxycarbonyl.

42. A method according to Claim 39, wherein L is benzenesulfonyl, methylsulfonyl, p-methylbenzenesulfonyl, 4-nitrobenzene sulfonyl, p-bromobenzenesulfonyl, trifluoromethylsulfonyl, trichloroacetimidate, 2,2,2-trifluoroethanesulfonyl, or imidazolesulfonyl.

43. A method according to Claim 39, wherein L is nosylate, tosylate, or mesylate.

44. A method according to Claim 39, wherein P is t-butoxycarbonyl and L is nosylate.

45. A method according to Claim 39, wherein the amine protecting group and boc groups are removed by acid hydrolysis.

46. A method according to Claim 39, wherein the amine protecting group and boc group are removed by treating the reaction product of step (a) with HCl, HBr, HOAc, H<sub>2</sub>SO<sub>4</sub>, HI, trimethylsilyliodide, or H<sub>3</sub>PO<sub>4</sub>.

47. A method for preparing a precursor for the preparation of a radiolabeled nucleoside comprising:

- a. converting a 2'-deoxy nucleoside into a 2,3'-anhydronucleoside;
- b. opening the 2,3'-anhydro ring to produce 3'-beta-hydroxy nucleoside;
- c. protecting the 5'-hydroxy with t-butoxycarbonyl;
- d. incorporating a leaving group at the 3'-position; and
- e. protecting the 3-*N* amine to produce the radiolabeled nucleoside precursor.



48. The method according to Claim 47, wherein the nucleoside is thymidine, cytidine, or uridine.

49. The method according to Claim 47, wherein the leaving group is nosylate, tosylate, or mesylate.

50. The method according to Claim 47, wherein the amine protecting group is t-butoxycarbonyl.